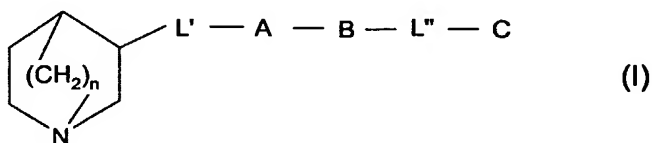


CLAIMS

1. An azabicyclic aryl derivative represented by Formula I



any of its enantiomers or any mixture of its enantiomers, or a prodrug, or a pharmaceutically-acceptable addition salt thereof, wherein

n is 1, 2 or 3; and

L' represents a linking group selected from -O-, -S-, -CO-, -NR'-, -NR'CO- and -CONR'-; wherein

R' represents hydrogen or alkyl; or

L' represents the linking group -NY'-; wherein

Y' represents formyl, acetyl, propionyl or butanoyl; and

A represents an aromatic mono- or bi-cyclic carbocyclic or heterocyclic group, optionally substituted one or more times with substituents selected from the group consisting of alkyl, cycloalkyl, cycloalkyl-alkyl, hydroxy, alkoxy, hydroxyalkoxy, alkoxy-alkyl, alkoxy-alkoxy, cycloalkoxy, cycloalkoxy-alkyl, cycloalkoxy-alkoxy, halo, trihaloalkyl, trihaloalkoxy, cyano, nitro, amino, oxo, carboxy, carbamoyl, alkyl-carbamoyl, amido, N-alkyl-amido, N,N-dialkyl-amido, sulfamoyl, phenyl or benzyl; and

B represents a covalent bond (i.e. B is absent); or

B represents an aromatic monocyclic carbocyclic or heterocyclic group, optionally substituted one or more times with substituents selected from the group consisting of alkyl, cycloalkyl, cycloalkyl-alkyl, hydroxy, alkoxy, hydroxyalkoxy, alkoxy-alkyl, alkoxy-alkoxy, cycloalkoxy, cycloalkoxy-alkyl, cycloalkoxy-alkoxy, halo, trihaloalkyl, trihaloalkoxy, cyano, nitro, amino, carboxy, carbamoyl, amido, sulfamoyl and phenyl; and

L'' represents a linking group selected from -CO-, -CR''=CR'''-, -C≡C-, -NR''-CO-, -CO-NR''-, -SO₂-NR''-, -NR''-SO₂-, -NR''-CO-NR'''-; wherein

R'' and R''', independently of one another, represent hydrogen or alkyl; and

C represents an aromatic monocyclic and/or polycyclic, carbocyclic and/or heterocyclic group, optionally substituted one or more times with substituents selected from the group consisting of alkyl, cycloalkyl, cycloalkyl-alkyl, hydroxy, alkoxy, hydroxyalkoxy, alkoxy-alkyl, alkoxy-alkoxy, cycloalkoxy, cycloalkoxy-alkyl, cycloalkoxy-alkoxy, halo, trihaloalkyl, trihaloalkoxy, cyano, nitro, amino, -NH-CO-alkyl, -NH-CO-cycloalkyl, NH-CO-alkenyl, carboxy, carbamoyl, amido, sulfamoyl, phenyl and -NR^{'''}-CO-NHR^{'''}, wherein R^{'''} and R^{'''}, independently of one another, represent hydrogen or alkyl; or

- 10 L^{''} represents the linking group -NR^{''}-CO-NY^{''}-; wherein
R^{''} represents hydrogen or alkyl; and
Y^{''} represents hydrogen, alkyl, aryl-alkyl or heteroaryl-alkyl; and
C represents hydrogen, alkyl, aryl-alkyl or heteroaryl-alkyl.
- 15 2. The azabicyclic aryl derivative of claim 1, wherein n is 1, 2 or 3.
3. The azabicyclic aryl derivative of claim 2, wherein n is 1 or 2.
4. The azabicyclic aryl derivative of any one of claims 1-3, wherein
- 20 L' represents a linking group selected from -O-, -S-, -CO-, -NR'-, -NR'CO- and -CONR'-; wherein
R' represents hydrogen or alkyl; or
L' represents the linking group -NY'-; wherein
Y' represents formyl, acetyl, propionyl or butanoyl.
- 25 5. The azabicyclic aryl derivative of claim 4, wherein L' represents a linking group selected from -O-, -NR'CO- and -CONR'-; wherein
R' represents hydrogen or alkyl.
- 30 6. The azabicyclic aryl derivative of any one of claims 1-5, wherein A represents an aromatic mono- or bi-cyclic carbocyclic or heterocyclic group, optionally substituted one or more times with substituents selected from the group consisting of alkyl, cycloalkyl, cycloalkyl-alkyl, hydroxy, alkoxy, hydroxyalkoxy, alkoxy-alkyl, alkoxy-alkoxy, cycloalkoxy, cycloalkoxy-alkyl, cycloalkoxy-alkoxy, halo, trihaloalkyl, trihaloalkoxy, cyano, nitro, amino, oxo, carboxy, carbamoyl, alkyl-carbamoyl, amido, N-alkyl-amido, N,N-dialkyl-amido, sulfamoyl, phenyl or benzyl.
- 35 7. The azabicyclic aryl derivative of claim 6, wherein A represents an aromatic 5- to 6-membered monocyclic heterocyclic group, optionally substituted one

or more times with substituents selected from the group consisting of alkyl, cycloalkyl, cycloalkyl-alkyl, hydroxy, alkoxy, hydroxyalkoxy, alkoxy-alkyl, alkoxy-alkoxy, cycloalkoxy, cycloalkoxy-alkyl, cycloalkoxy-alkoxy, halo, trihaloalkyl, trihaloalkoxy, cyano, nitro, amino, oxo, carboxy, carbamoyl, alkyl-carbamoyl, amido, N-alkyl-amido,
5 N,N-dialkyl-amido, sulfamoyl, phenyl or benzyl.

8. The azabicyclic aryl derivative of claim 7, wherein A represents an aromatic 5-membered monocyclic heterocyclic group.

10 9. The azabicyclic aryl derivative of claim 8, wherein A represents furanyl, thienyl, pyrrolyl, oxazolyl or imidazolyl.

10. The azabicyclic aryl derivative of claim 9, wherein A represents furanyl, in particular furan-2,5-diyl, or thienyl, in particular thien-2,5-diyl.

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11. The azabicyclic aryl derivative of any one of claims 1-10, wherein B represents a covalent bond (i.e. B is absent).

12. The azabicyclic aryl derivative of any one of claims 1-10, wherein B
20 represents an aromatic monocyclic carbocyclic or heterocyclic group, optionally substituted one or more times with substituents selected from the group consisting of alkyl, cycloalkyl, cycloalkyl-alkyl, hydroxy, alkoxy, hydroxyalkoxy, alkoxy-alkyl, alkoxy-alkoxy, cycloalkoxy, cycloalkoxy-alkyl, cycloalkoxy-alkoxy, halo, trihaloalkyl, trihaloalkoxy, cyano, nitro, amino, carboxy, carbamoyl, amido, sulfamoyl and phenyl.

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13. The azabicyclic aryl derivative of claim 12, wherein B represents an aromatic monocyclic carbocyclic group, optionally substituted one or more times with substituents selected from the group consisting of alkyl, cycloalkyl, cycloalkyl-alkyl, hydroxy, alkoxy, hydroxyalkoxy, alkoxy-alkyl, alkoxy-alkoxy, cycloalkoxy, cycloalkoxy-
30 alkyl, cycloalkoxy-alkoxy, halo, trihaloalkyl, trihaloalkoxy, cyano, nitro, amino, carboxy, carbamoyl, amido, sulfamoyl and phenyl.

14. The azabicyclic aryl derivative of claim 13, wherein B represents an aromatic monocyclic carbocyclic group.

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15. The azabicyclic aryl derivative of claim 14, wherein B represents a phenyl group.

16. The azabicyclic aryl derivative of any one of claims 1-15, wherein L' represents a linking group selected from -CO-, -CR''=CR''', -C≡C-, -NR''-CO-, -CO-NR''-, -SO₂-NR''-, -NR''-SO₂-, -NR''-CO-NR'''-; wherein

R'' and R''', independently of one another, represent hydrogen or alkyl.

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17. The azabicyclic aryl derivative of claim 16, wherein L'' represents a linking group selected from -CO-, -C≡C-, -NR''-CO-, -CO-NR''- and -NR''-CO-NR'''-; wherein

R'' and R''', independently of one another, represent hydrogen or alkyl.

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18. The azabicyclic aryl derivative of claim 17, wherein L'' represents -CO-, -C≡C-, -NH-CO- or -NH-CO-NH-.

19. The azabicyclic aryl derivative of any one of claims 1-18, wherein C represents an aromatic monocyclic and/or polycyclic, carbocyclic and/or heterocyclic group, optionally substituted one or more times with substituents selected from the group consisting of alkyl, cycloalkyl, cycloalkyl-alkyl, hydroxy, alkoxy, hydroxyalkoxy, alkoxy-alkyl, alkoxy-alkoxy, cycloalkoxy, cycloalkoxy-alkyl, cycloalkoxy-alkoxy, halo, trihaloalkyl, trihaloalkoxy, cyano, nitro, amino, -NH-CO-alkyl, -NH-CO-cycloalkyl, NH-CO-alkenyl, carboxy, carbamoyl, amido, sulfamoyl, phenyl and -NR''''-CO-NHR''''', wherein R'''' and R''''', independently of one another, represent hydrogen or alkyl.

20. The azabicyclic aryl derivative of claim 19, wherein C represents an aromatic monocyclic and/or polycyclic, carbocyclic and/or heterocyclic group, optionally substituted one or more times with substituents selected from the group consisting of alkyl, cycloalkyl, cycloalkyl-alkyl, hydroxy, alkoxy, hydroxyalkoxy, alkoxy-alkyl, alkoxy-alkoxy, cycloalkoxy, cycloalkoxy-alkyl, cycloalkoxy-alkoxy, halo, trihaloalkyl, trihaloalkoxy, cyano, nitro, amino, -NH-CO-alkyl, -NH-CO-cycloalkyl, NH-CO-alkenyl, carboxy, carbamoyl, amido, sulfamoyl, phenyl and -NR''''-CO-NHR''''', wherein R'''' and R''''', independently of one another, represent hydrogen, alkyl, phenyl or benzyl.

21. The azabicyclic aryl derivative of claim 20, wherein C represents an aromatic monocyclic carbocyclic group, optionally substituted one or two times with substituents selected from halo, trihaloalkyl, trihaloalkoxy, cyano, nitro, amino, -NH-CO-alkyl, -NH-CO-cycloalkyl, NH-CO-alkenyl and -NR''''-CO-NHR''''', wherein R'''' and R''''', independently of one another, represent hydrogen or alkyl.

22. The azabicyclic aryl derivative of claim 21, wherein C represents an aromatic monocyclic carbocyclic group, optionally substituted one or two times with substituents selected from halo, trihaloalkyl, trihaloalkoxy, cyano, nitro, amino, -NH-CO-alkyl, -NH-CO-cycloalkyl, NH-CO-alkenyl and -NR^{''''}-CO-NHR^{''''}, wherein R^{''''} and
5 R^{''''}, independently of one another, represent hydrogen or alkyl.

23. The azabicyclic aryl derivative of any one of claims 1-15, wherein
L'' represents the linking group -NR''-CO-NY''-; wherein
R'' represents hydrogen or alkyl; and
10 Y'' represents hydrogen, alkyl, aryl-alkyl or heteroaryl-alkyl; and
C represents hydrogen, alkyl, aryl-alkyl or heteroaryl-alkyl.

24. The azabicyclic aryl derivative of claim 23, wherein
L'' represents the linking group -NR''-CO-NY''-; wherein
15 R'' represents hydrogen or alkyl; and
Y'' represents hydrogen, alkyl or benzyl; and
C represents hydrogen, alkyl or benzyl.

25. The azabicyclic aryl derivative of claim 24, wherein
20 L'' represents the linking group -NH-CO-NH-; and
C represents hydrogen, alkyl or benzyl.

26. The azabicyclic aryl derivative of claim 25, which is
25 5-(4-Ureido-phenyl)-furan-2-carboxylic acid (1-aza-bicyclo[2.2.2]oct-3-yl)-
amide;
or an enantiomer or a mixture of its enantiomers, or a pharmaceutically-
acceptable addition salt thereof.

27. The azabicyclic aryl derivative of claim 1, wherein
30 n is 2;
L' represents -NH-CO- or -N(alkyl)-CO-;
A represents furan-2,5-diyl;
B represents phenyl;
L'' represents -NH-CO- or -NR''-CO-NR'''-; wherein
35 R'' and R''', independently of one another, represent hydrogen or
alkyl; and
C represents phenyl, optionally substituted once or twice with substituents
selected from halo, trihaloalkyl, trihaloalkoxy, cyano, nitro, amino, -NH-CO-alkyl, -NH-
CO-cycloalkyl, NH-CO-alkenyl, -NH-CO-NH₂ and -NH-CO-NH-alkyl.

28. The azabicyclic aryl derivative of claim 27, which is
- (±) 5-(4-Benzoylamino-phenyl)-furan-2-carboxylic acid (1-aza-bicyclo[2.2.2]oct-3-yl)-amide;
 - 5 (±) 5-[4-(4-Nitro-benzoylamino)-phenyl]-furan-2-carboxylic acid (1-aza-bicyclo[2.2.2]oct-3-yl)-amide;
 - (±) 5-[4-(4-Amino-benzoylamino)-phenyl]-furan-2-carboxylic acid (1-aza-bicyclo[2.2.2]oct-3-yl)-amide;
 - (±) 5-[4-(4-Acetylamino-benzoylamino)-phenyl]-furan-2-carboxylic acid (1-10 aza-bicyclo[2.2.2]oct-3-yl)-amide;
 - (±) 5-[4-(4-Acryloylamino-benzoylamino)-phenyl]-furan-2-carboxylic acid (1-aza-bicyclo[2.2.2]oct-3-yl)-amide;
 - (±) 5-[4-[4-(Cyclopropanecarbonyl-amino)-benzoylamino]-phenyl]-furan-2-carboxylic acid (1-aza-bicyclo[2.2.2]oct-3-yl)-amide;
 - 15 (±) 5-[4-(3-Ethyl-ureido)-phenyl]-furan-2-carboxylic acid (1-aza-bicyclo[2.2.2]oct-3-yl)-amide;
 - (±) 5-[4-(3-Phenyl-ureido)-phenyl]-furan-2-carboxylic acid (1-aza-bicyclo[2.2.2]oct-3-yl)-amide;
 - (±) 5-[4-[3-(4-Nitro-phenyl)-ureido]-phenyl]-furan-2-carboxylic acid (1-aza-20 bicyclo[2.2.2]oct-3-yl)-amide;
 - (±) 5-[4-[3-(4-Amino-phenyl)-ureido]-phenyl]-furan-2-carboxylic acid (1-aza-bicyclo[2.2.2]oct-3-yl)-amide; or
 - (±) 5-[4-[3-(4-Acetylamino-phenyl)-ureido]-phenyl]-furan-2-carboxylic acid (1-aza-bicyclo[2.2.2]oct-3-yl)-amide;
 - 25 or an enantiomer or a mixture of its enantiomers, or a pharmaceutically-acceptable addition salt thereof.

29. A pharmaceutical composition comprising a therapeutically effective amount of an azabicyclic aryl derivative of any one of claims 1-28, or a30 pharmaceutically-acceptable addition salt thereof, together with at least one pharmaceutically-acceptable carrier or diluent.

30. Use of an azabicyclic aryl derivative of any one of claims 1-28, or a pharmaceutically-acceptable addition salt thereof, for the manufacture of a35 pharmaceutical composition/medicament for the treatment, prevention or alleviation of a disease or a disorder or a condition of a mammal, including a human, which disease, disorder or condition is responsive to modulation of cholinergic receptors.

31. The use according to claim 30, wherein the disease, disorder or condition relates to the central nervous system.

32. The use according to claim 31, wherein the disease, disorder or
5 condition is anxiety, cognitive disorders, learning deficit, memory deficits and dysfunction, Alzheimer's disease, attention deficit, attention deficit hyperactivity disorder, Parkinson's disease, Huntington's disease, Amyotrophic Lateral Sclerosis, Gilles de la Tourette's syndrome, depression, mania, manic depression, schizophrenia, obsessive compulsive disorders (OCD), panic disorders, eating
10 disorders such as anorexia nervosa, bulimia and obesity, narcolepsy, nociception, AIDS-dementia, senile dementia, periferic neuropathy, autism, dyslexia, tardive dyskinesia, hyperkinesia, epilepsy, bulimia, post-traumatic syndrome, social phobia, sleeping disorders, pseudodementia, Ganser's syndrome, pre-menstrual syndrome, late luteal phase syndrome, chronic fatigue syndrome, mutism, trichotillomania and
15 jet-lag.

33. The use according to claim 30, wherein the disease, disorder or condition are associated with smooth muscle contractions, including convulsive disorders, angina pectoris, premature labour, convulsions, diarrhoea, asthma,
20 epilepsy, tardive dyskinesia, hyperkinesia, premature ejaculation and erectile difficulty.

34. The use according to claim 30, wherein the disease, disorder or condition is related to the endocrine system, such as thyrotoxicosis,
25 pheochromocytoma, hypertension and arrhythmias.

35. The use according to claim 30, wherein the disease, disorder or condition is a neurodegenerative disorders, including transient anoxia and induced neuro-degeneration.

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36. The use according to claim 30, wherein the disease, disorder or condition is an inflammatory disorder, including inflammatory skin disorders such as acne and rosacea, Chron's disease, inflammatory bowel disease, ulcerative colitis and diarrhoea.

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37. The use according to claim 30, wherein the disease, disorder or condition is mild, moderate or even severe pain of acute, chronic or recurrent character, as well as neuropathic pain and pain caused by migraine, postoperative pain, phantom limb pain, neuropathic pain, chronic headache, central pain, pain

related to diabetic neuropathy, to post therapeutic neuralgia, or to peripheral nerve injury.

38. The use according to claim 30, wherein the disease, disorder or
5 condition is associated with withdrawal symptoms caused by termination of use of
addictive substances, including nicotine containing products such as tobacco, opioids
such as heroin, cocaine and morphine, benzodiazepines and benzodiazepine-like
drugs and alcohol.

10 39. A method of treatment, prevention or alleviation of a disease or a
disorder or a condition of a living animal body, including a human, which disorder,
disease or condition is responsive to modulation of cholinergic receptors, which
method comprises the step of administering to such a living animal body in need
thereof a therapeutically effective amount of an azabicyclic aryl derivative of any one
15 of claims 1-28.